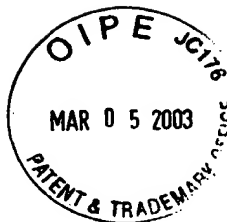


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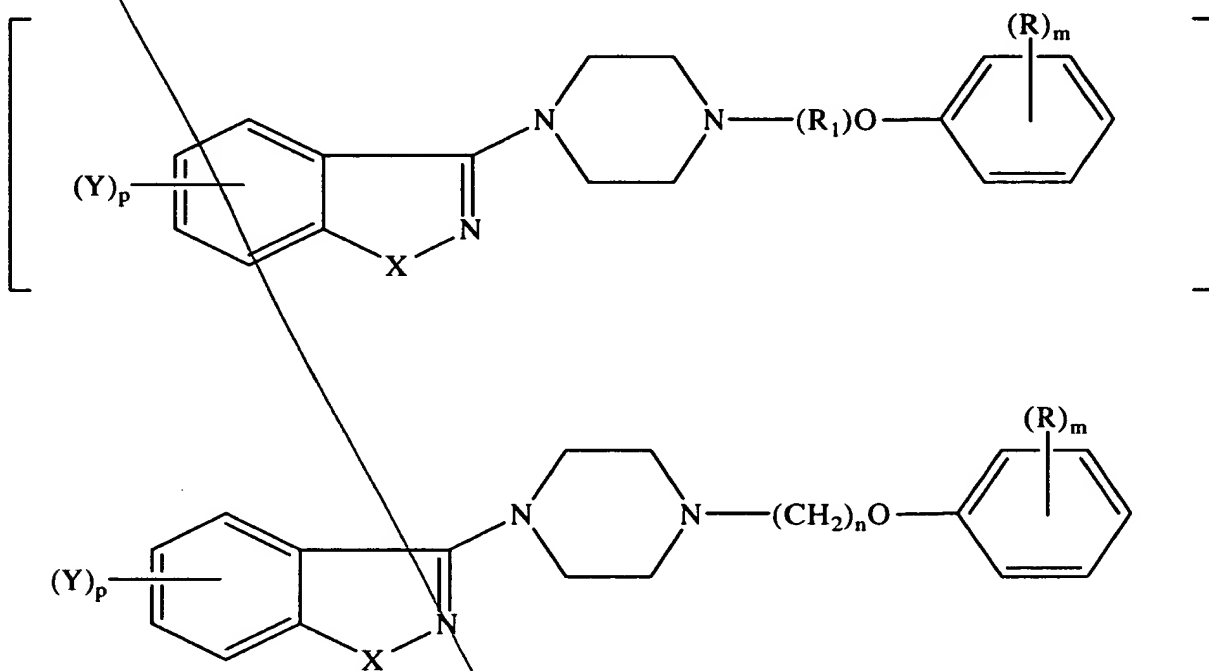
follows.

In the Claims

Please amend claims 1, 9, 25, 27, 29, 30, 31, 32, 33, 37, 46, 54, 66, 86, 87, 88, 89, 92, 93, and 104 without prejudice, as follows

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1. (Thrice Amended) A compound of the formula:



wherein,

X is -O-, -S-, -NH-, or [-N(R<sub>2</sub>)] -N-R<sub>2</sub>;

R<sub>2</sub> is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C<sub>3</sub>-C<sub>10</sub>) cycloalkyl, aroyl, (C<sub>2</sub>-C<sub>11</sub>) alkanoyl, and phenylsulfonyl groups;

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aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

[R<sub>1</sub> is R<sub>20</sub>, R<sub>21</sub> or R<sub>22</sub>, wherein:

R<sub>20</sub> is -(CH<sub>2</sub>)<sub>n</sub>- where n is 2, 3, 4 or 5;

[R<sub>21</sub> is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

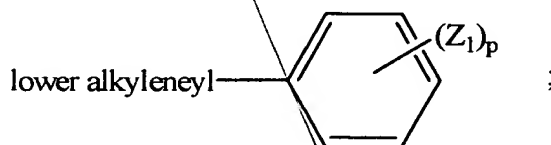
-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-, or

-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

the -CH=CH- bond being cis or trans;

R<sub>22</sub> is R<sub>20</sub> or R<sub>21</sub> in which one or more carbon atoms of R<sub>20</sub> or R<sub>21</sub> are substituted by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group, or



where Z<sub>1</sub> is lower alkyl, -OH, lower alkoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, -NH<sub>2</sub> or halogen, p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

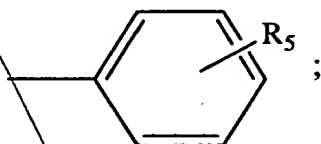
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trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,  
aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]  
-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or  
-CH(OR<sub>7</sub>)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or  
-C(=W)-heteroaryl;]

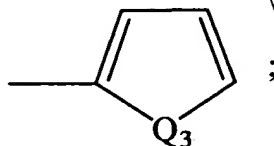
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy,  
chlorine, fluorine, bromine, iodine, lower  
monoalkylamino, [lower dialkylamino,] nitro, cyano,  
trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

[W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;]

R<sub>7</sub> is hydrogen, lower alkyl, or [alkanoyl] acyl;

[R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, alkoxy, or -NHR<sub>10</sub>; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,

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-C(=O)-aryl or -C(=O)-heteroaryl,  
where aryl and heteroaryl are as defined above;]  
and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is  
hydrogen, [C<sub>1</sub> = 14 C<sub>4</sub>] C<sub>1</sub>-C<sub>4</sub> alkyl, chlorine, fluorine, bromine, iodine,  
cyano, C<sub>1</sub> - C<sub>4</sub> alkoxy, or -COOR<sub>23</sub> where R<sub>23</sub> is H or C<sub>1</sub> - C<sub>4</sub> alkyl;

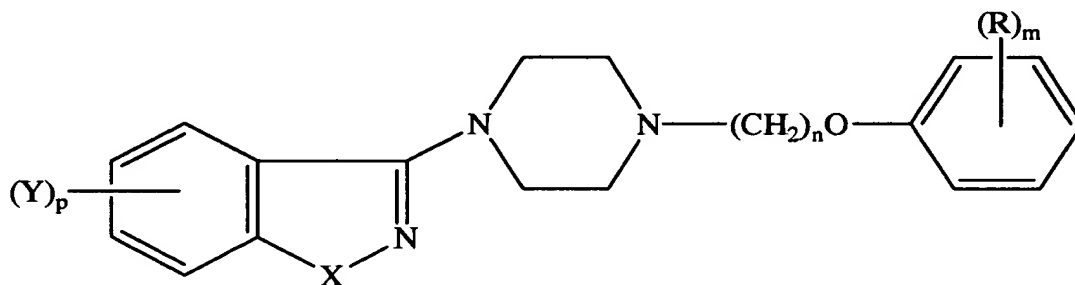
with the exclusion of compounds wherein X is -S-, [R<sub>1</sub> is R<sub>20</sub>,] R is H, and m=1;  
[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable  
acid addition salt thereof.

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C<sup>2</sup> 9. (Twice Amended) A compound as claimed in claim 1, wherein X is -O-,  
-S-, or -NH-; Y is H, Cl, F, or -CF<sub>3</sub>; R is selected from the group consisting of  
hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, -OH, Cl, F, Br, I, [acyl,] C<sub>1</sub>-C<sub>3</sub>  
monoalkylamino, acylamino, [-NO<sub>2</sub>-], ~~-NO<sub>2</sub>-~~, -OCF<sub>3</sub>, or -CF<sub>3</sub>; and n is 2, 3, or 4.

25. (Amended three times) A compound of the formula:



wherein X is -O-, -S-, -NH-, or  $[-N-R_2] \text{---} \text{N-R}_2$ ;

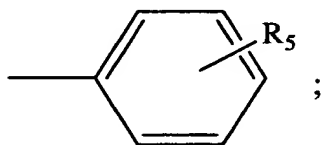
p is 1 or 2;

Y is hydrogen, Cl, Br, or F when p is 1;

Y is lower alkoxy [or halogen] when p is 2 and X is -O-;

$R_2$  is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl,  $(C_3-C_{10})$  cycloalkyl, aroyl,  $(C_2-C_{11})$  alkanoyl, and [phenyl sulfonyl] phenylsulfonyl groups;

aryl is phenyl or



wherein  $R_5$  is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

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n is 2, 3, or 4;

R is hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, hydroxyl, [acyl, (C<sub>2</sub>-C<sub>11</sub>) alkanyol,] Cl,

F, Br, I, amino, C<sub>1</sub>-C<sub>3</sub> mono- or dialkylamino, acylamino, -NO<sub>2</sub>, -OCF<sub>3</sub>,

-CF<sub>3</sub>,

(3) -C(=O)-alkyl, or -CH(OR<sub>7</sub>)-alkyl;

alkyl is lower alkyl;

R<sub>7</sub> is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is -O- or -S-, Y is hydrogen, and R is

hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, chlorine, fluorine, bromine, iodine, or C<sub>1</sub>-C<sub>3</sub> alkoxy;

with the exclusion of compounds wherein X is -S-, R is H, and m=1;

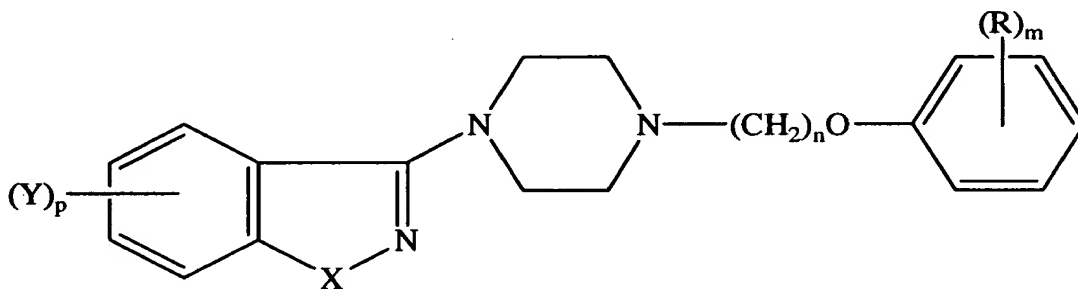
or a pharmaceutically acceptable acid addition salt thereof.

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27. (Thrice Amended) A compound of the formula:



wherein X is -S-;

p is 1 [or 2];

Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

n is 2, 3, or 4;

R is hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, hydroxyl, [acyl, (C<sub>2</sub>-C<sub>11</sub>) alkanyol,] Cl, F, Br, I, amino, C<sub>1</sub>-C<sub>3</sub> mono- or dialkylamino, acylamino, -NO<sub>2</sub>, -OCF<sub>3</sub>, -CF<sub>3</sub>, -C(=O)-alkyl, or -CH(OR<sub>7</sub>)-alkyl[.];

alkyl is lower alkyl;

R<sub>7</sub> is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

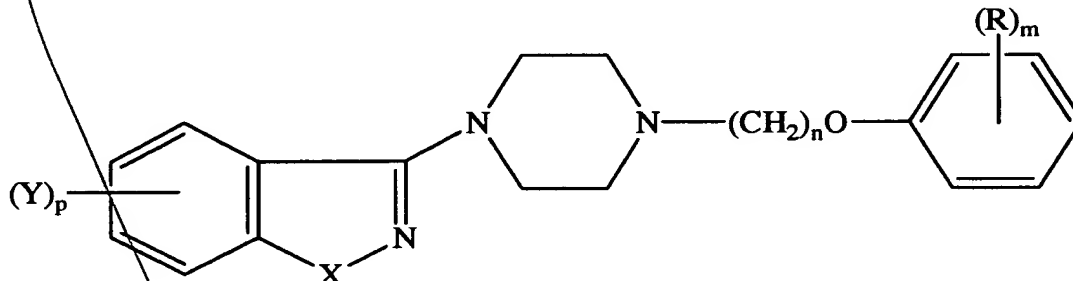
with the exclusion of compounds wherein Y is hydrogen, and R is hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, chlorine, fluorine, bromine, iodine, or C<sub>1</sub>-C<sub>3</sub> alkoxy;

with the exclusion of compounds wherein R is H, and m=1;

or a pharmaceutically acceptable acid addition salt thereof.



29. (Thrice Amended) A compound of the formula:



wherein X is  $-N-R_2$ ;

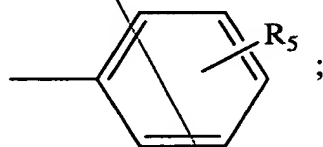
p is 1 [or 2];

Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

$R_2$  is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl,  $(C_3-C_{10})$  cycloalkyl, aroyl,  $(C_2-C_{11})$  [aroyl,] alkanoyl, and phenylsulfonyl groups;

aryl is phenyl or



wherein  $R_5$  is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

n is 2, 3, or 4;

R is hydrogen,  $C_1-C_3$  alkyl,  $C_1-C_3$  alkoxy, hydroxyl, [acyl,  $(C_2-C_{11})$  alkanyol,] Cl, F, Br, I, amino,  $C_1-C_3$  mono- or dialkylamino, acylamino,  $-NO_2$ ,  $-OCF_3$ ,

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F, Br, I, amino, C<sub>1</sub>-C<sub>3</sub> mono- or dialkylamino, acylamino, -NO<sub>2</sub>, -OCF<sub>3</sub>,  
-CF<sub>3</sub>, -C(=O)-alkyl, or -CH(OR<sub>7</sub>)-alkyl[.];

alkyl is lower alkyl;

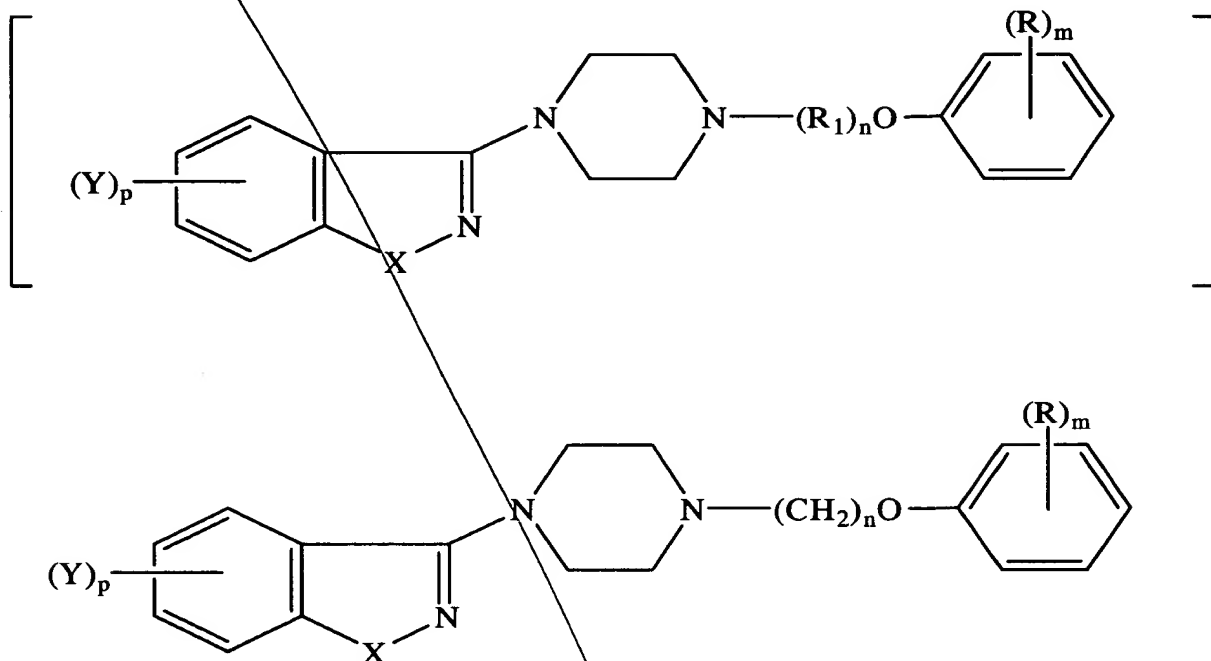
R<sub>7</sub> is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

or a pharmaceutically acceptable acid addition salt thereof.

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30. (Thrice Amended) A pharmaceutical composition, which comprises a compound of the formula:



wherein X is -O-, -S-, -NH-, or -N(R<sub>2</sub>);

R<sub>2</sub> is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C<sub>3</sub>-C<sub>10</sub>) cycloalkyl, aroyl, (C<sub>2</sub>-C<sub>11</sub>) alkanoyl, and phenylsulfonyl groups;

wherein aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

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[R<sub>1</sub> is R<sub>20</sub>, R<sub>21</sub> or R<sub>22</sub>, wherein:

R<sub>20</sub> is -(CH<sub>2</sub>)<sub>n</sub>- where n is 2, 3, 4 or 5;

[R<sub>21</sub> is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

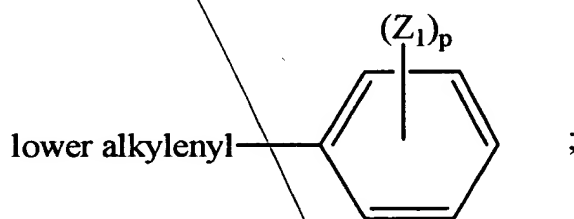
-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-, or

-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

the -CH=CH- bond being cis or trans;

R<sub>22</sub> is R<sub>20</sub> or R<sub>21</sub> in which one or more carbon atoms of R<sub>20</sub> or R<sub>21</sub> are substituted by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group, or



where Z<sub>1</sub> is lower alkyl, -OH, lower alkoxy, -CF<sub>3</sub>, -NO<sub>2</sub>,  
-NH<sub>2</sub> or halogen, and p as previously defined;]

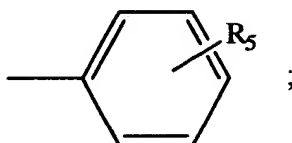
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]  
-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or  
-CH(OR<sub>7</sub>)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or  
-C(=W)-heteroaryl;]

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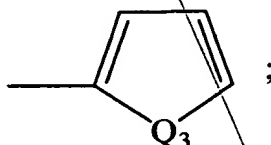
alkyl is lower alkyl;

aryl is phenyl or



where R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

[W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub> ;]

R<sub>7</sub> is hydrogen, lower alkyl, or [(C<sub>2</sub>-C<sub>11</sub>) alkanoyl] acyl;

[R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, alkoxy, or -NHR<sub>10</sub> ; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is

hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, chlorine, fluorine, bromine, iodine, cyano, C<sub>1</sub> - C<sub>4</sub>

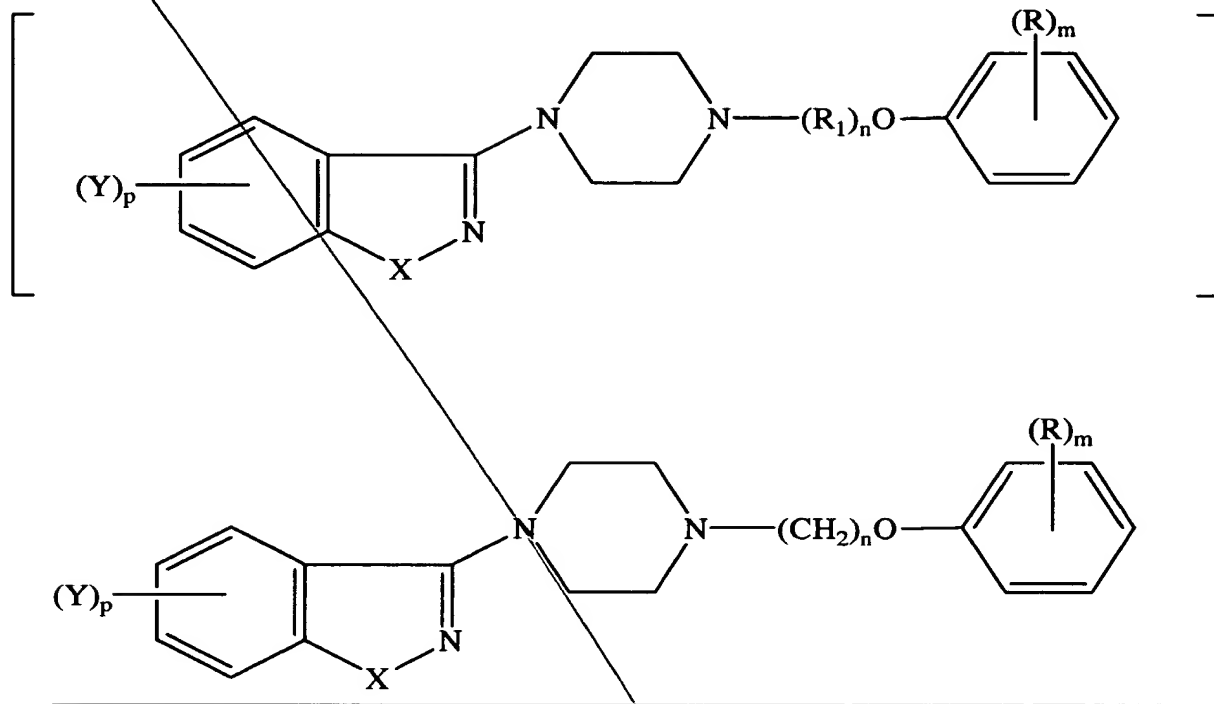
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alkoxy, or  $-\text{COOR}_{23}$  where  $\text{R}_{23}$  is H or  $\text{C}_1 - \text{C}_4$  alkyl;  
with the exclusion of compounds wherein X is  $-\text{S}-$ , [ $\text{R}_1$  is  $\text{R}_{20}$ ,] R is H, and  $m=1$ ;  
[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable  
acid addition salt thereof, and a pharmaceutically acceptable carrier therefor.

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31. (Amended three times) An antipsychotic composition, which comprises a compound of the formula:



wherein

X is  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{NH}-$ , or  $-\text{N}(\text{R}_2)-$ ;

$\text{R}_2$  is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl,  $(\text{C}_3-\text{C}_{10})$  cycloalkyl, aroyl,  $(\text{C}_2-\text{C}_{11})$  alkanoyl, and phenylsulfonyl groups; wherein aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

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X is lower alkoxy when p is 2 and X is -O-;

[R<sub>1</sub> is R<sub>20</sub>, R<sub>21</sub> or R<sub>22</sub>, wherein:

R<sub>20</sub> is -(CH<sub>2</sub>)<sub>n</sub>- where] n is 2, 3, 4 or 5;

[R<sub>21</sub> is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

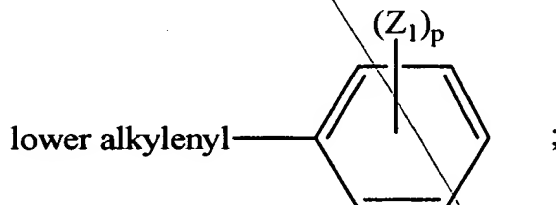
-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-, or

-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

the -CH=CH- bond being cis or trans;

R<sub>22</sub> is R<sub>20</sub> or R<sub>21</sub> in which one or more carbon atoms of R<sub>20</sub> or R<sub>21</sub> are substituted by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group, or



where Z<sub>1</sub> is lower alkyl, -OH, lower alkoxy, -CF<sub>3</sub>, -NO<sub>2</sub>,  
-NH<sub>2</sub> or halogen, a p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]  
-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or  
-CH(OR<sub>7</sub>)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or



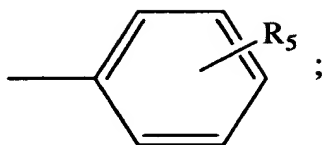
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~~-C(=W)-heteroaryl;]~~

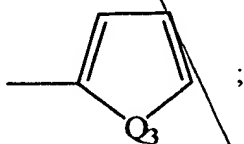
~~alkyl is lower alkyl;~~

~~aryl is phenyl or~~



~~where R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy,  
chlorine, fluorine, bromine, iodine, lower  
monoalkylamino, [lower dialkylamino,] nitro, cyano,  
trifluoromethyl, or trifluoromethoxy;~~

~~heteroaryl is~~



~~Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;~~

~~[W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub> ;]~~

~~R<sub>7</sub> is hydrogen, lower alkyl, or [(C<sub>2</sub>-C<sub>11</sub>) alkanoyl] acyl;~~

~~[R<sub>8</sub> is lower alkyl;~~

~~R<sub>9</sub> is hydroxy, alkoxy, or -NHR<sub>10</sub>; and~~

~~R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,~~

~~-C(=O)-aryl or -C(=O)-heteroaryl,~~

~~where aryl and heteroaryl are as defined above;]~~

~~and~~

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R

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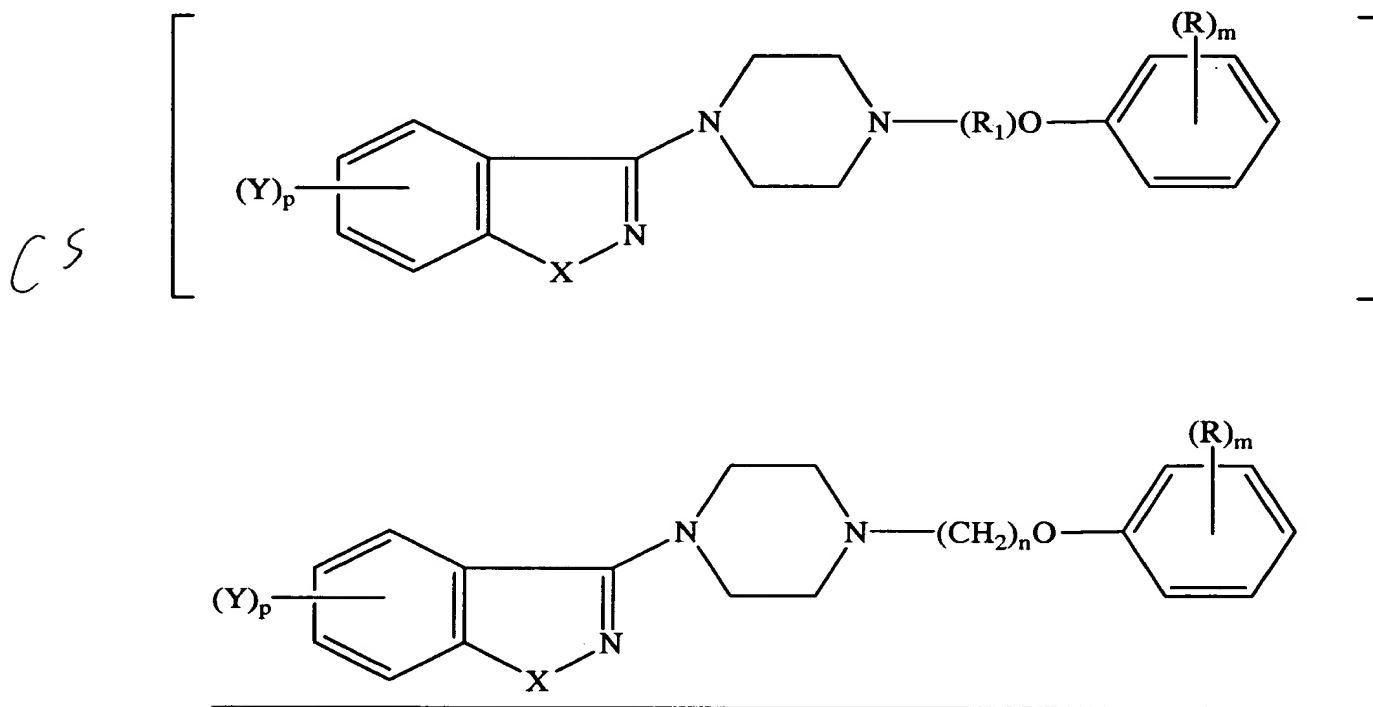
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is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, chlorine, fluorine, bromine, iodine, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, or -COOR<sub>23</sub> where R<sub>23</sub> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

with the exclusion of compounds wherein X is -S-, [R<sub>1</sub> is R<sub>20</sub>,] R is H, and m=1; [all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

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32. (Thrice Amended) A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound of the formula:



wherein

X is  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{NH}-$ , or  $-\text{N}(\text{R}_2)-$ ;

$\text{R}_2$  is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl,  $(\text{C}_3\text{--}\text{C}_{10})$  cycloalkyl, aroyl,  $(\text{C}_2\text{--}\text{C}_{11})$  alkanoyl, and phenylsulfonyl groups;

where aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower

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alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

[R<sub>1</sub> is R<sub>20</sub>, R<sub>21</sub> or R<sub>22</sub>, wherein:

R<sub>20</sub> is -(CH<sub>2</sub>)<sub>n</sub>- where] n is 2, 3, 4, or 5;

[R<sub>21</sub> is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

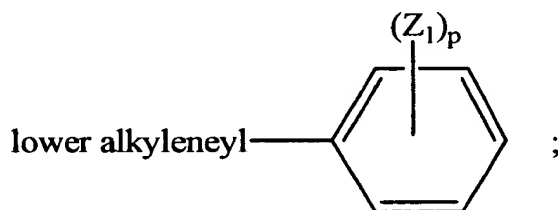
-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-, or

-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

the -CH=CH- bond being cis or trans;

R<sub>22</sub> is R<sub>20</sub> or R<sub>21</sub> in which one or more carbon atoms of R<sub>20</sub> or R<sub>22</sub> are substituted by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group, or



where Z<sub>1</sub> is lower alkyl, -OH, lower alkoxy, -CF<sub>3</sub>, -NO<sub>2</sub>,  
-NH<sub>2</sub> or halogen, and p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]

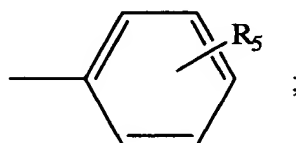
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-C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or  
-CH(OR<sub>7</sub>)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or  
-C(=W)-heteroaryl;]

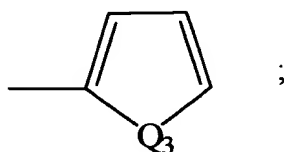
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy,  
chlorine, fluorine, bromine, iodine, lower  
monoalkylamino, [lower dialkylamino,] nitro, cyano,  
trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

[W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;

R<sub>7</sub> is hydrogen, lower alkyl, or [(C<sub>2</sub>-C<sub>11</sub>) alkanoyl] acyl;

[R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, alkoxy, or -NHR<sub>10</sub>; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,  
-C(=O)-aryl or -C(=O)-heteroaryl,

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where aryl and heteroaryl are as defined above;]  
and

m is 1, 2, or 3;

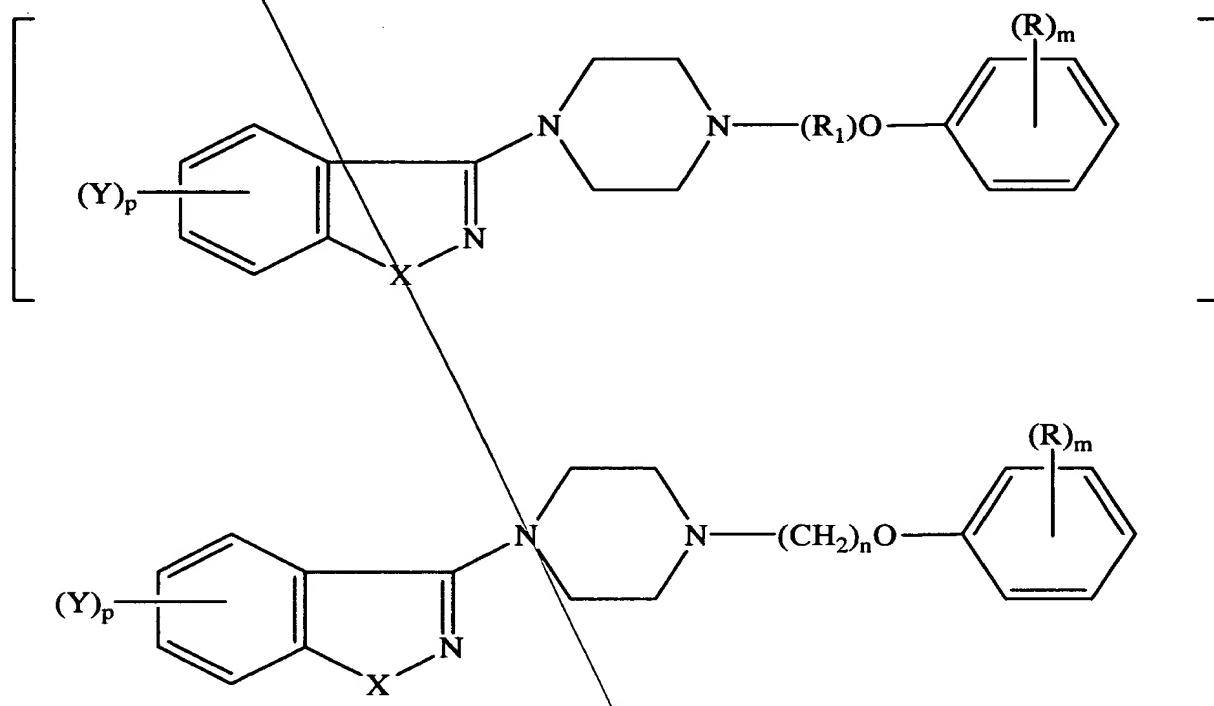
with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is  
hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, chlorine, fluorine, bromine, iodine, cyano, C<sub>1</sub>-C<sub>4</sub>  
alkoxy, or -COOR<sub>23</sub>

wherein R<sub>23</sub> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

with the exclusion of compounds wherein X is -S-, [R<sub>1</sub> is R<sub>20</sub>,] R is H, and m=1;  
[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable  
acid addition salt thereof.

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33. (Thrice Amended) An analgesic composition, which comprises a compound of the formula:



wherein,

X is -O-, -S-, -NH-, or  $[-\text{N}(\text{R}_2)] \text{---} \text{N}(\text{R}_2)$ ;

$\text{R}_2$  is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl,  $(\text{C}_3\text{--}\text{C}_{10})$  cycloalkyl, aroyl,  $(\text{C}_2\text{--}\text{C}_{11})$  alkanoyl, and phenylsulfonyl groups;

wherein aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

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Y is lower alkoxy when p is 2 and X is -O-;

[R<sub>1</sub> is R<sub>20</sub>, R<sub>21</sub> or R<sub>22</sub>, wherein:

R<sub>20</sub> is -(CH<sub>2</sub>)<sub>n</sub>- where] n is 2, 3, 4, or 5;

[R<sub>21</sub> is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

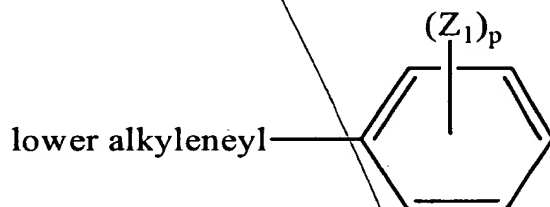
-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-, or

-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

the -CH=CH- bond being cis or trans;

R<sub>22</sub> is R<sub>20</sub> or R<sub>21</sub> in which one or more carbon atoms of R<sub>20</sub> or R<sub>21</sub> are substituted by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group, or



where Z<sub>1</sub> is lower alkyl, -OH, lower alkoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, -NH<sub>2</sub> or halogen, and p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or



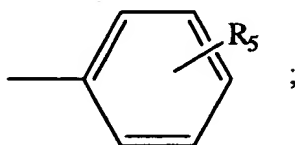
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~~-CH(OR<sub>7</sub>)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]~~

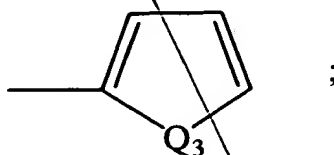
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

[W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>,]

R<sub>7</sub> is hydrogen, lower alkyl, or [(C<sub>2</sub>-C<sub>11</sub>) alkanoyl] acyl;

[R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, alkoxy, or -NHR<sub>10</sub>; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

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with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, chlorine, fluorine, bromine, iodine, cyano, C<sub>1</sub>-C<sub>4</sub> alkoxy, or -COOR<sub>23</sub>

wherein R<sub>23</sub> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

with the exclusion of compounds wherein X is -S-, [R<sub>1</sub> is R<sub>20</sub>,] R is H, and m=1;  
[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable acid addition salt thereof, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

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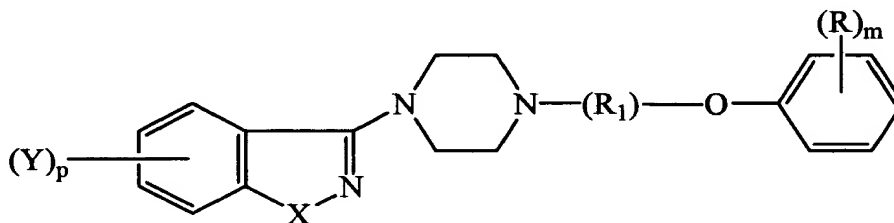
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37. (Amended) A method of treating psychoses, which comprises administering  
C6 to a mammal a psychoses-treating effective amount of a compound as claimed in  
claim 1, 25, 26, 27, [29] 28 or 29.

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46. (Amended) A compound of the formula



wherein

X is  $\text{-O-}$ ,  $\text{-S-}$ ,  $\text{-NH-}$ , or  $\text{-N(R}_2\text{)}$ ;

$\text{R}_2$  is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl,  $(\text{C}_3\text{-C}_{10})$  cycloalkyl, aroyl,  $(\text{C}_2\text{-C}_{11})$  alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is  $\text{-O-}$ ;

$(\text{R}_1)$  is

$\text{-CH}_2\text{-CH=CH-CH}_2\text{-}$ ,

$\text{-CH}_2\text{-C}\equiv\text{C-CH}_2\text{-}$ ,

$\text{-CH}_2\text{-CH=CH-CH}_2\text{-CH}_2\text{-}$ ,

$\text{-CH}_2\text{-CH}_2\text{-CH=CH-CH}_2\text{-}$ ,

$\text{-CH}_2\text{-C}\equiv\text{C-CH}_2\text{-CH}_2\text{-}$ , or

$\text{-CH}_2\text{-CH}_2\text{-C}\equiv\text{C-CH}_2\text{-}$ .

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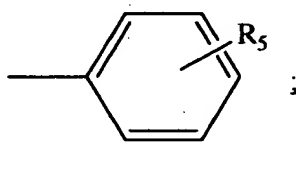
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the  $-\text{CH}=\text{CH}-$  bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, dialkylaminocarbonyl, formyl,  $-\text{C}(=\text{O})$ -alkyl,  $-\text{C}(=\text{O})$ -O-alkyl,  $-\text{C}(=\text{O})$ -aryl,  $-\text{C}(=\text{O})$ -heteroaryl,  $-\text{CH}(\text{OR}_7)$ -alkyl,  $-\text{C}(=\text{W})$ -alkyl,  $-\text{C}(=\text{W})$ -aryl, or  $-\text{C}(=\text{W})$ -heteroaryl;

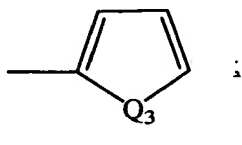
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein  $\text{R}_5$  is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein  $\text{Q}_3$  is  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{NH}-$ , or  $-\text{CH}=\text{N}-$ ;

W is  $\text{CH}_2$  or  $\text{CHR}_8$  or  $\text{N}-\text{R}_9$ ;

$\text{R}_7$  is hydrogen, lower alkyl, or acyl;

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R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl, -C(=O)-aryl, or  
-C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is  
hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, chlorine, fluorine, bromine, iodine, cyano, C<sub>1</sub>-C<sub>4</sub>  
alkoxy, or -COOR<sub>23</sub>.

wherein R<sub>23</sub> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable  
acid addition salt thereof.

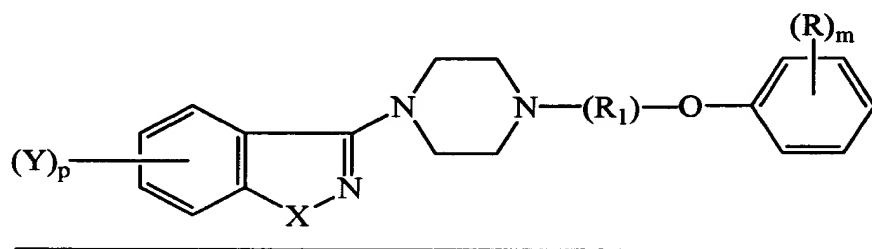
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C 8  
54. (Amended) A compound as claimed in claim 46, wherein X is -O-, -S-, or  
-NH-; Y is H, Cl, F, or -CF<sub>3</sub>; R is selected from the group consisting of hydrogen,  
C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, -OH, Cl, F, Br, I, C<sub>1</sub>-C<sub>3</sub> monoalkylamino, acylamino,  
-NO<sub>2</sub>, -OCF<sub>3</sub>, or -CF<sub>3</sub>.

66. (Amended) A compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R<sub>2</sub>);

R<sub>2</sub> is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C<sub>3</sub>-C<sub>10</sub>) cycloalkyl, aroyl, (C<sub>2</sub>-C<sub>11</sub>) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

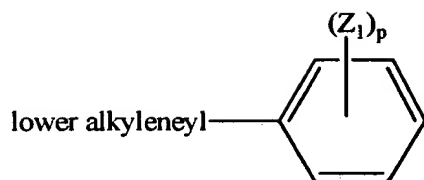
Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R<sub>1</sub>) is R<sub>20</sub> or R<sub>21</sub> in which one or more carbon atoms of R<sub>20</sub> or R<sub>21</sub> are substituted by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group or



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wherein  $Z_1$  is lower alkyl,  $-OH$ , lower alkoxy,  $-CF_3$ ,  $-NO_2$ ,  $-NH_2$  or halogen;

$R_{20}$  is  $-(CH_2)_n-$ , where  $n$  is 2, 3, 4 or 5;

$R_{21}$  is

$-CH_2-CH=CH-CH_2-$ ,

$-CH_2-C\equiv C-CH_2-$ ,

$-CH_2-CH=CH-CH_2-CH_2-$ ,

$-CH_2-CH_2-CH=CH-CH_2-$ ,

$-CH_2-C\equiv C-CH_2-CH_2-$ , or

$-CH_2-CH_2-C\equiv C-CH_2-$ ,

the  $-CH=CH-$  bond being *cis* or *trans*;

$R$  is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine,

fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro,

lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl,

trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl,

dialkylaminocarbonyl, formyl,  $-C(=O)-alkyl$ ,  $-C(=O)-O-alkyl$ ,

$-C(=O)-aryl$ ,  $-C(=O)-heteroaryl$ ,  $-CH(OR_7)-alkyl$ ,  $-C(=W)-alkyl$ .

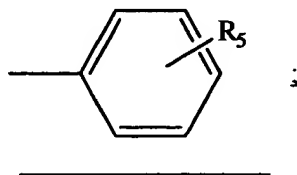
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-C(=W)-aryl, or -C(=W)-heteroaryl;

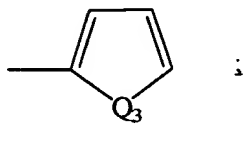
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy,  
chlorine, fluorine, bromine, iodine, lower  
monoalkylamino, lower dialkylamino, nitro, cyano,  
trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,  
-C(=O)-aryl, or -C(=O)-heteroaryl.

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wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is

hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, chlorine, fluorine, bromine, iodine, cyano, C<sub>1</sub>-C<sub>4</sub>

alkoxy, or -COOR<sub>23</sub>

wherein R<sub>23</sub> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

with the exclusion of compounds wherein X is -S-, R<sub>1</sub> is R<sub>20</sub>, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable

acid addition salt thereof.

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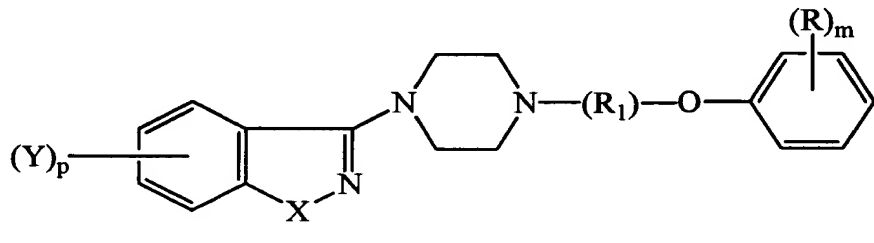
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74. (Amended) A compound as claimed in claim 66, wherein X is -O-, -S-, or  
C / D -NH-; Y is H, Cl, F, or -CF<sub>3</sub>; R is selected from the group consisting of hydrogen,  
C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, -OH, Cl, F, Br, I, C<sub>1</sub>-C<sub>3</sub> monoalkylamino, acylamino,  
-NO<sub>2</sub>, -OCF<sub>3</sub>, or -CF<sub>3</sub>; and n is 2, 3, or 4.

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86. (Amended) A pharmaceutical composition, which comprises a compound of the formula



wherein

X is  $\text{-O-}$ ,  $\text{-S-}$ ,  $\text{-NH-}$ , or  $\text{-N(R}_2\text{)}$ ;

$\text{R}_2$  is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl,  $(\text{C}_3\text{-C}_{10})$  cycloalkyl, aroyl,  $(\text{C}_2\text{-C}_{11})$ alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is  $\text{-O-}$ ;

$(\text{R}_1)$  is

$\text{-CH}_2\text{-CH=CH-CH}_2\text{-}$ ,

$\text{-CH}_2\text{-C}\equiv\text{C-CH}_2\text{-}$ ,

$\text{-CH}_2\text{-CH=CH-CH}_2\text{-CH}_2\text{-}$ ,

$\text{-CH}_2\text{-CH}_2\text{-CH=CH-CH}_2\text{-}$ ,

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-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-, or

-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

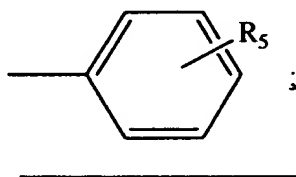
aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl,

-C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR<sub>7</sub>)-alkyl,

-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

wherein alkyl is lower alkyl;

aryl is phenyl or



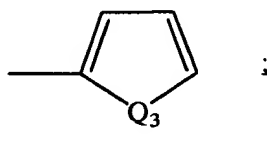
wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy,

chlorine, fluorine, bromine, iodine, lower

monoalkylamino, lower dialkylamino, nitro, cyano,

trifluoromethyl, or trifluoromethoxy;

heteroaryl is



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wherein Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

W is CH<sub>2</sub>, or CHR<sub>8</sub> or N-R<sub>9</sub>;

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is  
hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, chlorine, fluorine, bromine, iodine, cyano, C<sub>1</sub>-C<sub>4</sub>  
alkoxy, or -COOR<sub>23</sub>

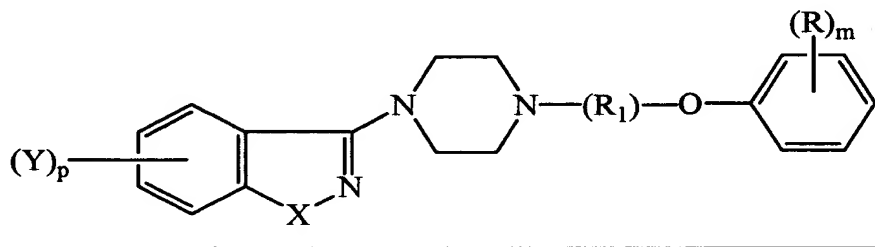
wherein R<sub>23</sub> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable  
acid addition salt thereof, and a pharmaceutically acceptable carrier therefor.

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87. (Amended) A pharmaceutical composition, which comprises a compound of the formula



wherein

X is  $\text{-O-}$ ,  $\text{-S-}$ ,  $\text{-NH-}$ , or  $\text{-N(R}_2\text{)}$ :

R<sub>2</sub> is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C<sub>3</sub>-C<sub>10</sub>) cycloalkyl, aroyl, (C<sub>2</sub>-C<sub>11</sub>) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

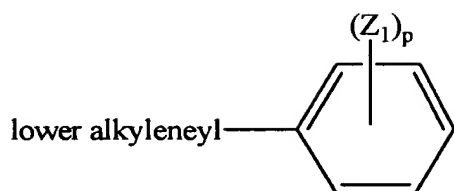
Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is  $\text{-O-}$ ;

(R<sub>1</sub>) is R<sub>20</sub> or R<sub>21</sub> in which one or more carbon atoms of R<sub>20</sub> or R<sub>21</sub> are substituted by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group or



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wherein  $Z_1$  is lower alkyl,  $-OH$ , lower alkoxy,  $-CF_3$ ,  $-NO_2$ ,  $-NH_2$  or halogen;

$R_{20}$  is  $-(CH_2)_n-$ , where  $n$  is 2, 3, 4 or 5;

$R_{21}$  is

$-CH_2-CH=CH-CH_2-$ ,

$-CH_2-C\equiv C-CH_2-$ ,

$-CH_2-CH=CH-CH_2-CH_2-$ ,

$-CH_2-CH_2-CH=CH-CH_2-$ ,

$-CH_2-C\equiv C-CH_2-CH_2-$ , or

$-CH_2-CH_2-C\equiv C-CH_2-$ ,

the  $-CH=CH-$  bond being cis or trans;

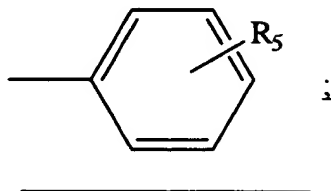
$R$  is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,  $-C(=O)-alkyl$ ,  $-C(=O)-O-alkyl$ ,  $-C(=O)-aryl$ ,  $-C(=O)-heteroaryl$ ,  $-CH(OR_7)-alkyl$ ,  $-C(=W)-alkyl$ ,  $-C(=W)-aryl$ , or  $-C(=W)-heteroaryl$ ;

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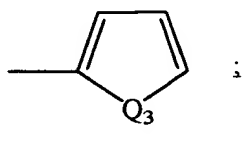
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein  $R_5$  is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein  $Q_3$  is  $-O-$ ,  $-S-$ ,  $-NH-$ , or  $-CH=N-$ ;

$W$  is  $CH_2$  or  $CHR_8$  or  $N-R_9$ ;

$R_7$  is hydrogen, lower alkyl, or acyl;

$R_8$  is lower alkyl;

$R_9$  is hydroxy, lower alkoxy, or  $-NHR_{10}$ ; and

$R_{10}$  is hydrogen, lower alkyl,  $C_1-C_3$  acyl, aryl,

$-C(=O)-$ aryl, or  $-C(=O)-$ heteroaryl,

wherein aryl and heteroaryl are as defined above; and

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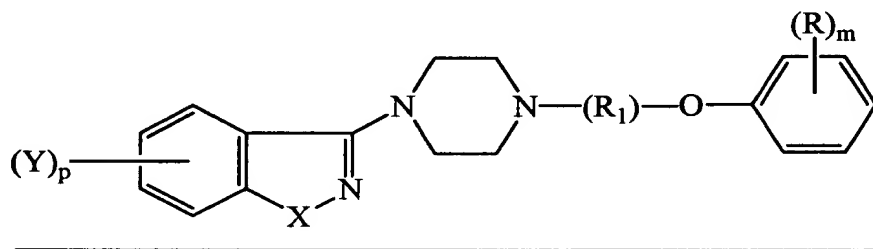
m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is  
hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, chlorine, fluorine, bromine, iodine, cyano, C<sub>1</sub>-C<sub>4</sub>  
alkoxy, or -COOR<sub>23</sub>

wherein R<sub>23</sub> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

with the exclusion of compounds wherein X is -S-, R<sub>1</sub> is R<sub>20</sub>, R is H, and m=1;  
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable  
acid addition salt thereof, and a pharmaceutically acceptable carrier therefor.

88. (Amended) An antipsychotic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R<sub>2</sub>);

R<sub>2</sub> is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C<sub>3</sub>-C<sub>10</sub>) cycloalkyl, aroyl, (C<sub>2</sub>-C<sub>11</sub>) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R<sub>1</sub>) is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-;

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-;

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-;

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-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-, or

-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

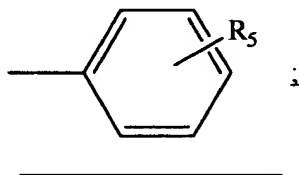
aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl,

-C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR<sub>7</sub>)-alkyl,

-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

wherein alkyl is lower alkyl;

aryl is phenyl or



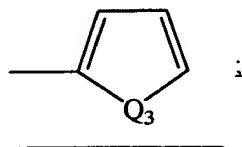
wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy,

chlorine, fluorine, bromine, iodine, lower

monoalkylamino, lower dialkylamino, nitro, cyano,

trifluoromethyl, or trifluoromethoxy;

heteroaryl is



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wherein Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

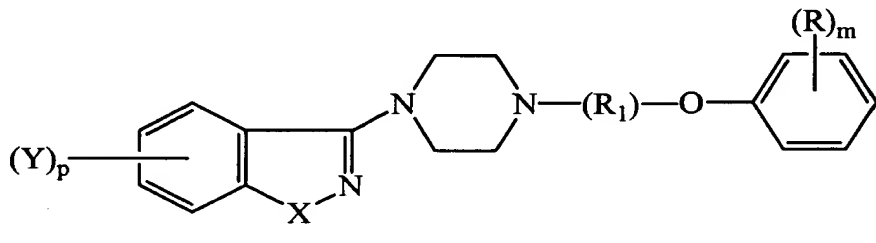
with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is  
hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, chlorine, fluorine, bromine, iodine, cyano, C<sub>1</sub>-C<sub>4</sub>  
alkoxy, or -COOR<sub>23</sub>

wherein R<sub>23</sub> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable  
acid addition salt thereof, in an amount sufficient to produce an antipsychotic  
effect, and a pharmaceutically acceptable carrier therefor.

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89. (Amended) An antipsychotic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R<sub>2</sub>);

R<sub>2</sub> is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C<sub>3</sub>-C<sub>10</sub>) cycloalkyl, aroyl, (C<sub>2</sub>-C<sub>11</sub>) alkanoyl, and phenylsulfonyl groups;

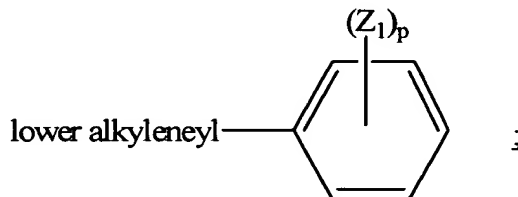
aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R<sub>1</sub>) is R<sub>20</sub> or R<sub>21</sub> in which one or more carbon atoms of R<sub>20</sub> or R<sub>21</sub> are substituted by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group or



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wherein  $Z_1$  is lower alkyl, -OH, lower alkoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, -NH<sub>2</sub> or halogen;

$R_{20}$  is -(CH<sub>2</sub>)<sub>n</sub>-, where n is 2, 3, 4 or 5;

$R_{21}$  is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-,

-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-, or

-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

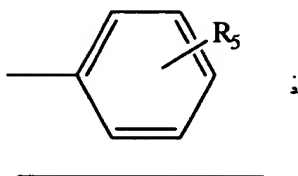
the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR<sub>7</sub>)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

wherein alkyl is lower alkyl;

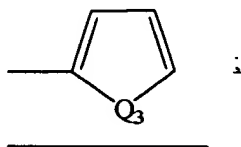
aryl is phenyl or





wherein  $R_5$  is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein  $Q_3$  is  $-O-$ ,  $-S-$ ,  $-NH-$ , or  $-CH=N-$ ;

$W$  is  $CH_2$  or  $CHR_8$  or  $N-R_9$ ;

$R_7$  is hydrogen, lower alkyl, or acyl;

$R_8$  is lower alkyl;

$R_9$  is hydroxy, lower alkoxy, or  $-NHR_{10}$ ; and

$R_{10}$  is hydrogen, lower alkyl,  $C_1-C_3$  acyl, aryl,

$-C(=O)-$ aryl, or  $-C(=O)-$ heteroaryl,

wherein aryl and heteroaryl are as defined above; and

$m$  is 1, 2, or 3;

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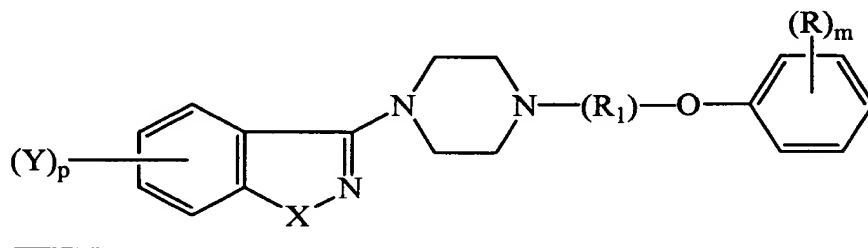
with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is  
hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, chlorine, fluorine, bromine, iodine, cyano, C<sub>1</sub>-C<sub>4</sub>  
alkoxy, or -COOR<sub>23</sub>.

wherein R<sub>23</sub> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

with the exclusion of compounds wherein X is -S-, R<sub>1</sub> is R<sub>20</sub>, R is H, and m=1;  
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable  
acid addition salt thereof, in an amount sufficient to produce an antipsychotic  
effect, and a pharmaceutically acceptable carrier therefor.

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92. (Amended) An analgesic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R<sub>2</sub>);

R<sub>2</sub> is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C<sub>3</sub>-C<sub>10</sub>)cycloalkyl, aroyl, (C<sub>2</sub>-C<sub>11</sub>)alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R<sub>1</sub>) is

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-;

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-;

-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-CH<sub>2</sub>-;

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-CH<sub>2</sub>-CH<sub>2</sub>-CH=CH-CH<sub>2</sub>-,

-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-CH<sub>2</sub>-, or

-CH<sub>2</sub>-CH<sub>2</sub>-C≡C-CH<sub>2</sub>-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl

thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

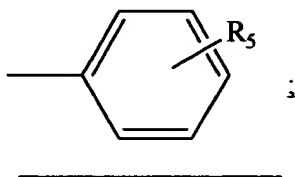
aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl,

-C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR<sub>7</sub>)-alkyl,

-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy,

chlorine, fluorine, bromine, iodine, lower

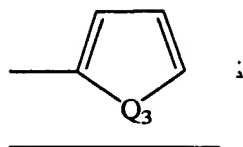
monoalkylamino, lower dialkylamino, nitro, cyano,

trifluoromethyl, or trifluoromethoxy;

heteroaryl is

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wherein  $Q_3$  is  $-O-$ ,  $-S-$ ,  $-NH-$ , or  $-CH=N-$ ;

$W$  is  $CH_2$  or  $CHR_8$  or  $N-R_9$ ;

$R_7$  is hydrogen, lower alkyl, or acyl;

$R_8$  is lower alkyl;

$R_9$  is hydroxy, lower alkoxy, or  $-NHR_{10}$ ; and

$R_{10}$  is hydrogen, lower alkyl,  $C_1-C_3$  acyl, aryl,

$-C(=O)-$ aryl, or  $-C(=O)-$ heteroaryl,

wherein aryl and heteroaryl are as defined above; and

$m$  is 1, 2, or 3;

with the exclusion of compounds wherein  $X$  is  $O$  or  $S$ ,  $Y$  is hydrogen, and  $R$  is

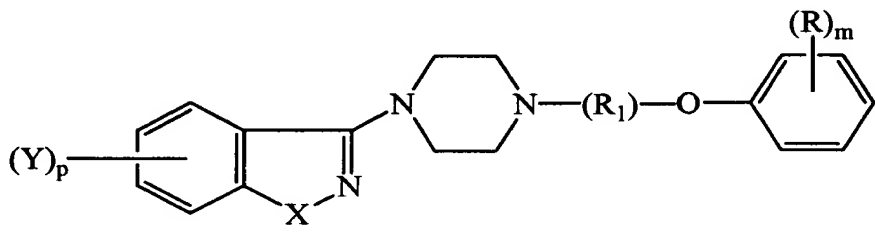
hydrogen,  $C_1-C_4$  alkyl, chlorine, fluorine, bromine, iodine, cyano,  $C_1-C_4$  alkoxy, or  $-COOR_{23}$

wherein  $R_{23}$  is  $H$  or  $C_1-C_4$  alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable

acid addition salt thereof, in an amount sufficient to produce a pain-relieving effect, and a pharmaceutically acceptable carrier therefor.

93. (Amended) An analgesic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R<sub>2</sub>);

R<sub>2</sub> is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C<sub>3</sub>-C<sub>10</sub>) cycloalkyl, aroyl, (C<sub>2</sub>-C<sub>11</sub>) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

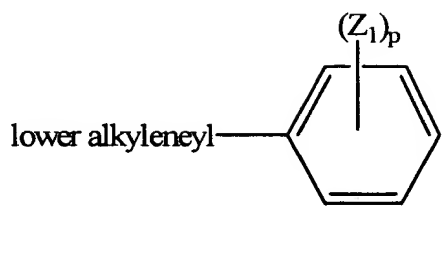
Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R<sub>1</sub>) is R<sub>20</sub> or R<sub>21</sub> in which one or more carbon atoms of R<sub>20</sub> or R<sub>21</sub> are substituted by at least one C<sub>1</sub>-C<sub>6</sub> linear alkyl group, phenyl group or

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wherein  $Z_1$  is lower alkyl,  $-OH$ , lower alkoxy,  $-CF_3$ ,  $-NO_2$ ,  $-NH_2$  or halogen;

$R_{20}$  is  $-(CH_2)_n-$ , where  $n$  is 2, 3, 4 or 5;

$R_{21}$  is

$-CH_2-CH=CH-CH_2-$ ,

$-CH_2-C\equiv C-CH_2-$ ,

$-CH_2-CH=CH-CH_2-CH_2-$ ,

$-CH_2-CH_2-CH=CH-CH_2-$ ,

$-CH_2-C\equiv C-CH_2-CH_2-$ , or

$-CH_2-CH_2-C\equiv C-CH_2-$ ,

the  $-CH=CH-$  bond being cis or trans;

$R$  is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,  $-C(=O)-alkyl$ ,  $-C(=O)-O-alkyl$ ,  $-C(=O)-aryl$ ,  $-C(=O)-heteroaryl$ ,

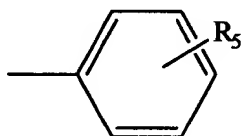
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-CH(OR<sub>7</sub>)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

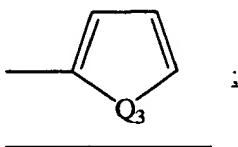
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R<sub>5</sub> is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q<sub>3</sub> is -O-, -S-, -NH-, or -CH=N-;

W is CH<sub>2</sub> or CHR<sub>8</sub> or N-R<sub>9</sub>;

R<sub>7</sub> is hydrogen, lower alkyl, or acyl;

R<sub>8</sub> is lower alkyl;

R<sub>9</sub> is hydroxy, lower alkoxy, or -NHR<sub>10</sub>; and

R<sub>10</sub> is hydrogen, lower alkyl, C<sub>1</sub>-C<sub>3</sub> acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,



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wherein aryl and heteroaryl are as defined above;  
and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is  
hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, chlorine, fluorine, bromine, iodine, cyano, C<sub>1</sub>-C<sub>4</sub>  
alkoxy, or -COOR<sub>23</sub>.

wherein R<sub>23</sub> is H or C<sub>1</sub>-C<sub>4</sub> alkyl;

with the exclusion of compounds wherein X is -S-, R<sub>1</sub> is R<sub>20</sub>, R is H, and m=1;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable  
acid addition salt thereof, in an amount sufficient to produce a pain-relieving  
effect, and a pharmaceutically acceptable carrier therefor.

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C13 104. (Amended) A compound as claimed in claim 96, wherein X is -O-, -S-, or -NH-; Y is H, Cl, F, or -CF<sub>3</sub>; R is selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>3</sub> alkoxy, -OH, Cl, F, Br, I, C<sub>1</sub>-C<sub>3</sub> monoalkylamino, acylamino, -NO<sub>2</sub>, -OCF<sub>3</sub>, or -CF<sub>3</sub>; and n is 2, 3, or 4.